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TSRJ 890.1
SN 10/516,671

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

| | | | |
|-------------|---|---|--|
| Applicant: | Sharpless, K.B. et al. |) | |
| Serial No.: | 10/516,671 |) | Group Art Unit: 1626 |
| Filed: | May 16, 2005 |) | |
| For: | Copper-Catalysed Ligation of Azides and Acetylenes |) | Declaration of K. Barry Sharpless under 37 CFR 1.131 |
| Examiner: | Fiona Powers |) | |

DECLARATION

Hon. Commissioner
of Patents and Trademarks
Washington, D.C. 20231

Dear Sir:

I, K. Barry Sharpless, Ph.D. declare that:

1. I am the inventor of the invention described and claimed in the above-referenced application and I am thus familiar with the subject matter described and claimed in that patent application.
2. I am aware that pending claims 4, 6, 13, 17, 21, 25 and 28-30 within the above application have been rejected as anticipated under 35 U.S.C. 102(a) over a reference by Tornoe et al., (*J. Org. Chem.*, 67 (9), 3057-3064, April 2, 2002).
3. I hereby declare that my invention was completed by myself prior to March 13, 2002, and that the invention occurred within the United States.

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4. Attached hereto is a copy of Disclosure Number 2002-028, submitted by myself and my co-inventors (Luke Green and Vsevolod Rostovtsev). I submitted Disclosure Number 2002-028 to my employer (and the employer of my co-inventors) The Scripps Research Institute on March 13, 2002. The Office of Technology Development (OTD) acknowledged receipt of Disclosure Number 2002-028 with its date stamp, indicating that it was received by OTD on March 14, 2002. Disclosure Number 2002-028 discloses our invention for copper-catalysed cycloaddition of azides and acetylenes using copper(I) salts and evidences that this aspect of our invention was completed on or prior to March 13, 2002. Disclosure Number 2002-028 evidences that our invention for copper-catalysed cycloaddition of azides and acetylenes using copper(I) salts predated the publication of the Tomoe reference.
5. All statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further, that these statements were made with the knowledge that willful, false statements and the like so made are punishable by fine or imprisonment or both, under Section 1001 of Title 18 of the United States Code, and that such willful, false statements may jeopardize the validity of the above-referenced application or any patent issuing thereon.

April 5, 2007
Date

K. Barry Sharpless, Ph.D.
K. Barry Sharpless, Ph.D.

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The Scripps Research Institute
INVENTION DISCLOSURE

DISCLOSURE NUMBER: 2002-028

DATE: 3/13/02

The purpose of this disclosure is to document and identify your technology/discovery. It is intended to help us meet our government reporting obligations, give our industrial sponsors a means of determining the commercial potential of the technology and our attorneys a document from which to assess its patentability.

TITLE/SUBJECT/BRIEF DESCRIPTION OF INVENTION/DISCOVERY:

Copper-Catalysed Cycloaddition of Azides and Acetylenes

| INVENTOR(S) NAME AND HOME ADDRESS | CITIZENSHIP | PHONE NO. |
|---|-------------|--------------|
| 1.) Luke Green, 3128#O Via Alacante Drive, La Jolla | UK | 858-784-7517 |
| 2.) Ysevolod Rostovtsev, 6699 Beadnell Way #135 | Russia | 858-784-7517 |
| 3.) K. Barry Sharpless, 7960 La Jolla Village Way, La Jolla | US | 858-784-7505 |
| 4.) | | |

Has the discovery been described (orally or in writing) to anyone other than a TSRI employee or coinventor? If so, to whom and date: NO

Have any specific materials (e.g., peptide, protein, cells, antibodies, DNA preparations, etc.) been distributed to anyone other than TSRI employee or coinventors? ☐ YES ☒ NO

Has a PAPER or ABSTRACT describing this discovery been submitted for publication? ☒ YES ☐ NO
If so:

Projected Journal/Meeting: ACS Meeting, Boston, MA

• Projected Date: August 2002

TSRI Manuscript No.:

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Disclosure No. 2002-028

FUNDING SUPPORTING THIS INVENTION:

GOVERNMENT FUNDING? ☒ YES ☐ NO

IF YES: Agency: NIH GM28384; NSF CHE-9985553

Grant Number(s):

Was the GCRC used in developing this INVENTION?

☐ YES ☒ NOPRIVATE FUNDING? ☒ YES ☐ NO

IF YES: Funding Source: W.M. Keck Foundation; Skaggs Institute for Chemical Bi

Invention is a: Compound ☐ Device ☐ Diagnostic ☐ New Use ☐ Process ☒

Were Affymetrix standard and/or custom Gene Chip Expression Probe Assays used in this research?

☐ Yes ☒ No

If yes, identify the Affymetrix material used:

Were any materials obtained from an outside source, under a Materials Transfer Agreement (MTA), used in this research? ☐ YES ☒ NO

IF YES: Company:

Material(s):

Date of MTA:

INVENTOR(S) SIGNATURE(S):

DATE:

Mike Green3/14/02V. Tortum3/14/02K. Day S. Lee3/13/02

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Disclosure No. 2002-028

PRINCIPAL CONTACT:

Investigator Name: K. Barry Sharpless

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DESCRIPTION OF INVENTION:

See attached

UTILITY:

ADVANTAGES (Particularly as relates to commercialization):

CLOSEST KNOWN PUBLICATIONS:

R. Huisgen, J. Org. Chem., 1976, 41, 403-419.

A. Padwa in "Comprehensive Organic Synthesis", B. M. Trost, editor, 1991, Pergamon Press, Chapter 4.9, vol. 4, p. 1069-1110.

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2002-028

We found that copper(I) salts (CuI , $\text{C}_6\text{H}_5\cdot\text{CuOTf}$, $[\text{Cu}(\text{NCCH}_3)_4]\text{PF}_6$) catalyze the formation of 1,4-disubstituted triazoles in the [2+3] cycloaddition of a variety of azides and acetylenes. Presence of a base (K_2CO_3 , NEt_3 , Pr_2NEt or 2,6-lutidine) is also required. The reaction proceeds under air in acetonitrile or water at room temperature and is usually complete in several hours. For example, when a mixture of benzylazide and phenylacetylene (1 mmol each, 0.5 M solutions in acetonitrile) was stirred with CuI (5 mol%) and 2,6-lutidine (1 mmol) at room temperature, 1-benzyl-4-phenyl-1,2,3-triazole is formed in 86% yield after 4 hours.

